

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY



(Chapter II of the Patent Cooperation Treaty)

REC'D 16 FEB 2005

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(PCT Article 36 and Rule 70)

Applicant's or agent's file reference A41078M		FOR FURTHER ACTION		See Form PCT/IPEA/416
International application No. PCT/JP2004/004320		International filing date (day/month/year) 26.03.2004	Priority date (day/month/year) 26.03.2003	
International Patent Classification (IPC) or national classification and IPC C07D239/47, C07D401/14, C07D405/14, C07D409/14, C07D413/14, C07D417/14, C07D403/14, A61K31/513, A61K31/5377, A61P25/28				
Applicant MITSUBISHI PHARMA CORPORATION et al.				
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 4 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau) a total of sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>				
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the opinion</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input checked="" type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>				
Date of submission of the demand 09.06.2004		Date of completion of this report 15.02.2005		
Name and mailing address of the International preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465		Authorized Officer Hanisch, I Telephone No. +49 89 2399-7880 		

**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/JP2004/004320

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on translations from the original language into the following language, which is the language of a translation furnished for the purposes of:

- ☐ international search (under Rules 12.3 and 23.1(b))
- ☐ publication of the international application (under Rule 12.4)
- ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report):*

Description, Pages

1-339 as originally filed

Claims, Numbers

1-16 as originally filed

☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages
- ☐ the claims, Nos.
- ☐ the drawings, sheets/figs
- ☐ the sequence listing (*specify*):
- ☐ any table(s) related to sequence listing (*specify*):

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages
- ☐ the claims, Nos.
- ☐ the drawings, sheets/figs
- ☐ the sequence listing (*specify*):
- ☐ any table(s) related to sequence listing (*specify*):

* If item 4 applies, some or all of these sheets may be marked "superseded."

**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/JP2004/004320

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	1-16
	No: Claims	
Inventive step (IS)	Yes: Claims	
	No: Claims	1-16
Industrial applicability (IA)	Yes: Claims	1-16
	No: Claims	

2. Citations and explanations (Rule 70.7):

see separate sheet

Box No. VI Certain documents cited

1. Certain published documents (Rule 70.10)

and /or

2. Non-written disclosures (Rule 70.9)

see separate sheet

**INTERNATIONAL PRELIMINARY
REPORT ON PATENTABILITY
(SEPARATE SHEET)**

International application No.

PCT/JP2004/004320

Re Item V.

Relevant prior art is provided by

(A) EP 1136482

Novelty

The current general formula (I) overlaps with the general formula of (A). However, the current pyrimidone derivatives may be seen as a novel selection of (A), thus fulfilling the requirements of Article 33(2) PCT.

Inventive Step

The problem underlying the current application appears to be the provision of further pyrimidone derivatives which inhibit tau protein kinase 1 and are thus useful for the treatment of i.a. neurodegenerative diseases.

(A) discloses a general formula of pyrimidone derivatives of the desired activity (see paragraph [0002]) which encompasses most of the current compounds. The qualitative retention of activity would therefore automatically be assumed by the skilled person. In the case of a novel selection such as the present one, an inventive step may only be acknowledged for the said selection if it provides an unexpected improvement vis-à-vis the closest prior art (e.g. example 38 of (A)). Such an unexpected effect which must be valid over the whole claimed scope appears not to be present in the application documents as originally filed. Consequently, Article 33(3) PCT is not yet satisfied.

Re Item VI.

(B) WO 03027080

(C) WO 03037888

It should be noted that the two P-documents (B) and (C) will not be regarded during the international phase. However, they appear not to be relevant for novelty since their subject-matter has been excluded from the present scope by means of the two provisos in claim 1. If they could be relevant for the assessment of inventive step depends upon whether the current application is entitled to the priority it claims.